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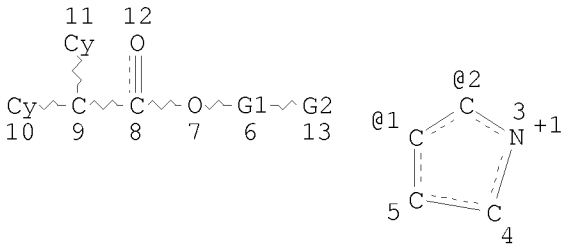

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CHARGE IS E+1 AT 3
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.49	0.71

FILE 'CAPLUS' ENTERED AT 09:30:26 ON 02 MAR 2010

FILE COVERS 1907 - 2 Mar 2010 VOL 152 ISS 10
FILE LAST UPDATED: 1 Mar 2010 (20100301/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l2
L3   46 L2

=> s l3 and py<=2003
      24050416 PY<=2003
L4   12 L3 AND PY<=2003

=> d bib abs 1-12

L4   ANSWER 1 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN   2003:837081 CAPLUS
DN   139:337885
TI   Preparation of acyloxypyrrolidinium salts as M3 muscarinic antagonists
IN   Prat Quinones, Maria; Fernandez Forner, Maria Dolors
PA   Almirall Prodesfarma S.A., Spain
SO   PCT Int. Appl., 72 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003087094	A2	20031023	WO 2003-EP3786	20030411	<--
	WO 2003087094	A3	20040318			
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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	ES 2206021	B1	20050801			
	CA 2482536	A1	20031023	CA 2003-2482536	20030411	<--
	AU 2003233967	A1	20031027	AU 2003-233967	20030411	<--
	AU 2003233967	B2	20090806			
	EP 1497284	A2	20050119	EP 2003-727294	20030411	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
	BR 2003009167	A	20050125	BR 2003-9167	20030411	
	NZ 535884	A	20071130	NZ 2003-535884	20030411	
	RU 2320657	C2	20080327	RU 2004-133371	20030411	
	MX 2004010076	A	20041213	MX 2004-10076	20041013	
	IN 2004DN03157	A	20070112	IN 2004-DN3157	20041013	
	ZA 2004008335	A	20051102	ZA 2004-8335	20041014	
	NO 2004004826	A	20050114	NO 2004-4826	20041105	
	US 20050282875	A1	20051222	US 2005-510680	20050720	
	US 7192978	B2	20070320			
	US 20070129420	A1	20070607	US 2007-648581	20070103	
PRAI	ES 2002-889	A	20020416			
	WO 2003-EP3786	W	20030411			
	US 2005-510680	A3	20050720			

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 139:337885

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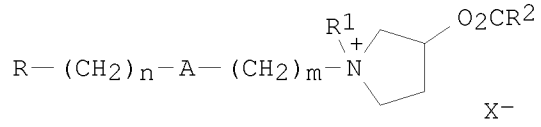

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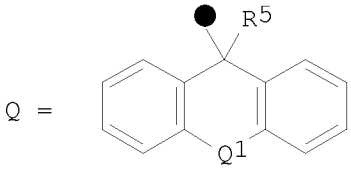

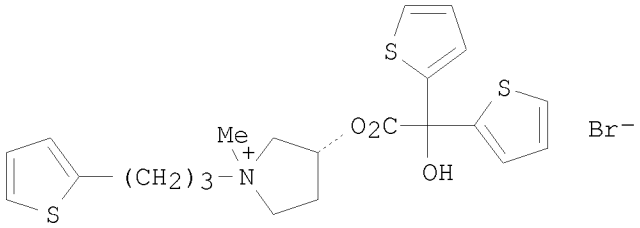

II

AB Pyrrolidinium derivs. I [R = (un)substituted Ph, naphthalenyl, 5,6,7,8-tetrahydronaphthalenyl, benzo[1,3]dioxolyl, biphenyl, heteroarom.; R1 = alkyl; R2 = CR3R4R5, Q; R3 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl; R4 = 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, cycloalkyl; R5 = H, OH, Me, CH2OH; Q1 = CH2, CH2CH2, O, OCH2, S, SCH2, CH:CH; A = (un)substituted CH:CH, CH2, CO, O, S, S(O), SO2, NH; m = 0-8; n = 0-4] were prepared for use in therapy as antagonists of M3 muscarinic receptors (no data). Thus, (3R)-3-pyrrolidinol was treated with 2-(3-bromopropyl)thiophene to give (3R)-1-(3-thien-2-ylpropyl)pyrrolidinol which was treated with Me 2-hydroxy-2,2-dithen-2-ylacetate and quaternized to give the pyrrolidinium salt II.

OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:889558 CAPLUS
DN 137:369966
TI Preparation of enantiomerically pure basic [(cyclopentyl- or cyclohexylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium salts, their muscarinic receptor binding affinity, and use as treatment for obstructive respiratory disease
IN Noe, Christian; Mutschler, Ernst; Lambrecht, Gunter; Elgert, Michael; Elgert, Ruth Irene; Czeche, Sittah; Waelbroeck, Magali
PA Germany
SO U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S. 6,307,060.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 2

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PI	US 20020173536	A1	20021121	US 2001-901217	20010709 <--
	US 6613795	B2	20030902		
	WO 9821183	A1	19980522	WO 1997-AT245	19971111 <--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1369414	A1	20031210	EP 2003-5233	19971111 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL				
	EP 1371645	A1	20031217	EP 2003-5232	19971111 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL				
	US 6307060	B1	20011023	US 1999-309960	19990511 <--
	US 20030220400	A1	20031127	US 2003-601542	20030623 <--
	US 7253182	B2	20070807		
PRAI	AT 1996-1973	A	19961111		
	WO 1997-AT245	W	19971111		
	US 1999-309960	A2	19990511		
	EP 1997-911049	A3	19971111		
	US 2001-901217	A3	20010709		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:369966

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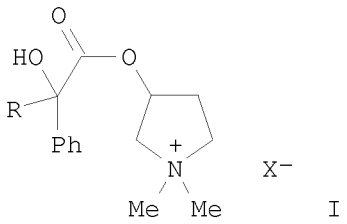


I

AB Disclosed are enantiomerically pure cyclic aminoalc. esters of arylcycloalkylhydroxycarboxylic acids with at least 90% enantiomeric excess of the (3R,2'R), (3S,2'R), (3R,2'S), or (3S,2'S) configured enantiomer. Thus, [(cyclopentyl (or cyclohexyl) hydroxyphenylacetyl)oxy] pyrrolidinium salts I (R = cyclopentyl, cyclohexyl, X = bromide, iodide, fluoride, chloride) were prepared by reacting (3R) or (3S)-1-methyl-3-pyrrolidinol with the corresponding phenylacetate, followed by preparation of the tartrate intermediates and quaternization. Inhalable powder and aerosol formulations of the compds. were also prepared The muscarinic binding affinity of I were examined using rabbit vas deferens, guinea pic atrium, guinea pig ileum, and human M1, M2, and M3 receptors.

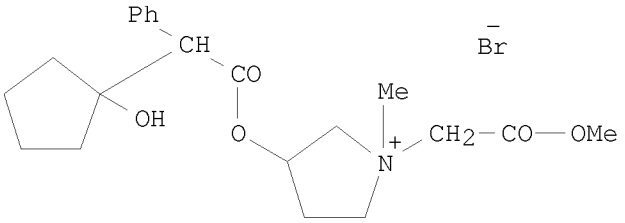
OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:154498 CAPLUS

DN 137:15684

TI Studies on a soft glycopyrrolate analog, SG-1
AU Ji, F.; Wu, W.-M.; Bodor, N.
CS Center for Drug Discovery, University of Florida, Gainesville, FL, USA
SO Pharmazie (2002), 57(2), 138-141
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag GmbH
DT Journal
LA English
GI



I

AB A short-acting soft drug analog (I) of glycopyrrolate (G) was developed by retrometabolic design in order to minimize systemic side effects and optimize the therapeutic index. I was synthesized by: (a) esterification of phenylacetic acid with N-methyl-3-pyrrolidinol by DCC to obtain N-methyl-3-pyrrolidinyl phenylacetate; (b) reaction of lithium salt of above phenylacetates with cyclopentanone to obtain N-methyl-3-pyrrolidinyl 3-(1'-hydroxycyclopentyl)phenylacetate; and (c) quaternization with Me bromoacetate in acetonitrile to give the designed product. To evaluate the pharmacol. effect of I, its mydriatic activity in rabbit eyes was compared to that of glycopyrrolate. At the pharmacodynamically equivalent doses (the lowest dose that induces the maximum response) of I (1%) and glycopyrrolate (0.1%), the mydriatic activities lasted for 5 and 100 h, resp. Compared to glycopyrrolate, the intrinsic pupil dilation potency of I was lower (.apprx.1/10th) but the duration of action was much shorter (<1/20th) as I is susceptible to facile enzymic hydrolysis/deactivation in the rabbit eyes. In vitro metabolism and stability investigations further supported this finding. In vitro half lives of I in rat plasma, blood, and 20% liver and lung tissue homogenates were 15.62, 53.86, 263.43, and 318.35 min, resp. In human plasma and blood, half-lives were 19.93 and 88.32 min, resp. I was relatively stable under acidic conditions (pH 5 and lower). I is a promising, clin. useful short acting anticholinergic.
OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:78639 CAPLUS
DN 137:194970
TI Receptor binding studies of soft anticholinergic agents
AU Huang, Fenglei; Buchwald, Peter; Browne, Clinton E.; Farag, Hassan H.; Wu, Whei-Mei; Ji, Fubao; Hochhaus, Guenther; Bodor, Nicholas
CS Center for Drug Discovery, College of Pharmacy, University of Florida, Gainesville, FL, 32610-0497, USA
SO PharmSci [online computer file] (2001), 3(4), No pp. given
CODEN: PHARFY; ISSN: 1522-1059
URL: http://www.pharmsci.org/scientificjournals/pharmsci/journal/pdf/01_30.pdf

PB American Association of Pharmaceutical Scientists
DT Journal; (online computer file)
LA English
OS CASREACT 137:194970
AB Receptor binding studies were performed on 24 soft anticholinergic agents and 5 conventional anticholinergic agents using 4 cloned human muscarinic receptor subtypes. The measured pKi values of the soft anticholinergic agents ranged from 6.5 to 9.5, with the majority being in the range of 7.5 to 8.5. Strong correlation was observed between the pKis determined here and the p42 values measured earlier in guinea pig ileum contraction assays. The corresponding correlation coeffs. (r2) were 0.80, 0.73, 0.81, and 0.78 for pKi(m1), pKi(m2), pKi(m3), and pKi(m4), resp. Quant. structure-activity relationship (QSAR) studies were also performed, and good characterization could be obtained for the soft anticholinergics containing at least 1 tropine moiety in their structure. For these compds., the potency as measured by the pKi values was found to be related to geometric, electronic, and lipophilicity descriptors. A linear regression equation using ovality (Oe),dipole moment (D), and a calculated log octanol-water partition coefficient (QLogP) gave reasonably good descriptions (r = 0.88) for the pKi(m3) values.
OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:51415 CAPLUS
DN 136:118468
TI Preparation of 2-aryl-2-hydroxyacetic acid ester derivatives as muscarinic M3 receptor antagonists
IN Ogino, Yoshio; Kurihara, Hideki; Matsuda, Kenji; Numazawa, Tomoshige; Otake, Norikazu; Noguchi, Kazuhito
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004402	A1	20020117	WO 2001-JP5987	20010710 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001071027	A	20020121	AU 2001-71027	20010710 <--
	CA 2415468	A1	20030110	CA 2001-2415468	20010710 <--
	EP 1302458	A1	20030416	EP 2001-949925	20010710 <--
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	AU 2001271027	B2	20050707	AU 2001-271027	20010710
	US 20030191316	A1	20031009	US 2003-332617	20030110 <--
	US 6846835	B2	20050125		
	US 20050065211	A1	20050324	US 2004-983613	20041109
	US 7192969	B2	20070320		

US 20070129397 A1 20070607 US 2007-648614 20070103
US 7504432 B2 20090317
PRAI JP 2000-210591 A 20000711
WO 2001-JP5987 W 20010710
US 2003-332617 A3 20030110
US 2004-983613 A3 20041109
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 136:118468
AB Compds. of the general formula ArC(OH)(R1)CO2A [wherein A is a group of the general formula -B1-N+R2R3R4.X- or -B2-NR5CR6:NR7; Ar is aryl or heteroaryl, any of which may be substituted; B1 and B2 are each an aliphatic hydrocarbon group; R1 is fluorinated cycloalkyl; R2, R3 and R4 are each lower alkyl, or a single bond or alkylene, any of which is bonded to B1, or alternatively R2 and R3 may be united to form alkylene; R5 and R7 are each hydrogen, lower alkyl, or a single bond or alkylene, any of which is bonded to B2; R6 is hydrogen, lower alkyl, or N(R8)R9; R8 and R9 are independently hydrogen or lower alkyl; and X- is an anion] are prepared Thses compds. exhibit selective muscarinic M3 receptor antagonism with little side effects and are suitable for administration by inhalation and useful as therapeutic agents for respiratory system diseases including chronic obstructive pulmonary diseases, chronic bronchitis, asthma, chronic airway obstruction, pulmonary fibrosis, pulmonary emphysema, or rhinitis. Thus, reductive methylation of piperidin-4-yl (2R)-((1R)-3,3-difluoropentyl)-2-hydroxy-2-phenylethanoate by formaldehyde and sodium cyanoborohydride in the presence of ZnCl2 in MeOH at room temperature for 30 min gave 1-methylpiperidin-4-yl (2R)-((1R)-3,3-difluoropentyl)-2-hydroxy-2-phenylethanoate which was quaternized by Me bromide in MeCN at room temperature for 15 h to give 4-[[(2R)-2-((1R)-3,3-difluorocyclopentyl)-2-hydroxy-2-phenylethanoyl]oxy]-1,1-dimethylpiperidinium bromide (I). In a muscarinic receptor M2 and M3 antagonism assay, 4-(((2R)-2-((1R)-3,3-difluorocyclopentyl)-2-hydroxy-2-phenylethanoyl)oxy)-1,1-dimethylpiperidinium bromide in vitro exhibited KB of 9.6 nM for inhibiting the carbachol-induced reduction in heart beat in rat right atrium (muscarinic receptor M2 receptor) and that of 0.004 nM for inhibiting the carbachol-induced contraction of trachea (muscarinic receptor M3 receptor) with M2/M3 receptor ratio of 218. An ampule or a powder inhalation formulation containing I were described.
OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:192082 CAPLUS
DN 133:758
TI Design, synthesis, and pharmacological evaluation of soft glycopyrrolate and its analog
AU Ji, F.; Huang, F.; Juhasz, A.; Wu, W.; Bodor, N.
CS Center for Drug Discovery, College of Pharmacy, University of Florida, Gainesville, FL, USA
SO Pharmazie (2000), 55(3), 187-191
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
AB Glycopyrrolate is a quaternary anticholinergic drug. Like for other anticholinergics, the usefulness of this agent is limited by its side effects. In this study, based on the structure of glycopyrrolate, we designed a soft drug, methoxycarbonylphenylcyclopentylacetoxy-N,N-dimethyl-3-pyrrolidinium Me sulfate (SG), and its analog, methoxycarbonylphenylcyclopentylacetoxyethyl-N,N,N-trimethylammonium Me

sulfate (SGA). These soft drugs are expected to be locally active, but systemically inactive in order to increase therapeutic index. SG and SGA were synthesized by (i) carboxylation of Me phenylcyclopentylacetate, (ii) esterification with N-methyl-3-pyrrolidinol (for SG) or 2-chloro-N,N-dimethylaminoethane (for SGA), and (iii) quaternization with di-Me sulfate. Receptor binding studies demonstrate that SG has muscarinic subtype selectivity (m3/m2). Guinea pig ileum pA2 assay indicates that activity of SG is moderate, and SG is about ten times more potent than SGA. The in vivo characterization of SG and SGA, both in mydriasis tests and in prevention of carbachol induced bradycardia, supported its soft nature. Applying SG or SGA into rabbit eyes, the dilation of the contralateral (water-treated) pupils was not observed Glycopyrrolate application, however, caused dilation of the contralateral pupil, indicating a systemic effect of this drug. Cardiac studies were carried out by evaluating the protective effect of soft anticholinergics against carbachol induced bradycardia. The results indicate that SG and SGA were as potent as atropine-MeBr in preventing carbachol induced bradycardia in the rat; however, their durations of action were significantly shorter. In conclusion, the newly synthesized SG and SGA showed soft nature in the body. They are anticholinergics with subtype selectivity and moderate potency, and can be used as topical antiperspirants.

OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:341543 CAPLUS
DN 129:27887
OREF 129:5943a,5946a
TI Preparation of 1,1-dialkylpyrrolidinium-3-yl α-cycloalkylmandelate diastereomers and analogs as muscarinic M3 receptor ligands
IN Noe, Christian R.; Mutschler, Ernst; Lambrecht, Gunter; Elgert, Michael; Czeche, Sittah; Waelbroeck, Magali
PA Germany
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9821183	A1	19980522	WO 1997-AT245	19971111 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 2271276	C	20090113		
AU 9748560	A	19980603	AU 1997-48560	19971111 <--
AU 745331	B2	20020321		
EP 937041	A1	19990825	EP 1997-911049	19971111 <--
EP 937041	B1	20030423		
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CN 1237159	A	19991201	CN 1997-199621	19971111 <--
CN 100391942	C	20080604		

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     HU 9903791           A2    20000328    HU 1999-3791          19971111 <--
     HU 9903791           A3    20011029
     NZ 336202            A     20001027    NZ 1997-336202        19971111 <--
     JP 2001504459        T     20010403    JP 1998-521942        19971111 <--
     AT 238280            T     20030515    AT 1997-911049        19971111 <--
     PT 937041            E     20030930    PT 1997-911049        19971111 <--
     ES 2195121           T3    20031201    ES 1997-911049        19971111 <--
     EP 1369414           A1    20031210    EP 2003-5233          19971111 <--
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             IE, SI, LT, LV, FI, RO, AL
     EP 1371645           A1    20031217    EP 2003-5232          19971111 <--
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             IE, SI, LT, LV, FI, RO, AL
     RU 2238936           C2    20041027    RU 1999-112115        19971111
     PL 195520            B1    20070928    PL 1997-332595        19971111
     NO 9901056           A     19990511    NO 1999-1056          19990303 <--
     NO 314354            B1    20030310
     US 6307060           B1    20011023    US 1999-309960        19990511 <--
     US 20020173536       A1    20021121    US 2001-901217        20010709 <--
     US 6613795           B2    20030902
PRAI AT 1996-1973         A     19961111
     EP 1997-911049       A     19971111
     WO 1997-AT245        W     19971111
     US 1999-309960       A2    19990511
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   MARPAT 129:27887
GI
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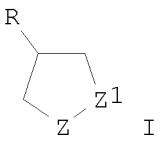


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AB   Title compds. [I; R = R1R4C(OH)CO2; R1 = (un)substituted cycloalkyl; R4 =
     (hetero)aryl; Z = NR2R3A; A = pharmacol. acceptable acid conjugate base;
     R2,R3 = (halo)alk(en)yl, (halo)alkynyl; Z1 = (CH2)1-3] were prepared  Thus,
     (S)-1-methyl-3-pyrrolidinol was esterified by PhCR1(OH)CO2Me (R1 =
     cyclopentyl) and the resolved product quaternized to give (S)-I [R =
     (S)-PhCR1(OH)CO2, R1 = cyclopentyl, Z = NMe2I, Z1 = CH2].  Data for biol.
     activity of I were given.
OSC.G   8     THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT  5     THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4   ANSWER 8 OF 12  CAPLUS  COPYRIGHT 2010 ACS on STN
AN   1998:58955  CAPLUS
DN   128:132422
OREF 128:25915a,25918a
TI   Methods and compositions for treating urinary incontinence using
     enantiomerically enriched (SR)-glycopyrrolate
IN   Fabiano, Vincent L.; McCullough, John R.
PA   Sepracor, Inc., USA
SO   PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800109	A1	19980108	WO 1997-US11639	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 909163	A1	19990421	EP 1997-931548	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1996-21156P	P	19960701		
	WO 1997-US11639	W	19970627		

AB A method for treating urinary incontinence, such as incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (SR)-glycopyrrolate (I). The method comprises administering a therapeutically effective amount of enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, substantially free of the (R,S)-enantiomer. Pharmaceutical compns. for the treatment of urinary incontinence comprising enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, and an acceptable carrier are also disclosed. The antimuscarinic, spasmolytic, and Ca entry blocking effects of models of receptor binding and bladder function were studied for I.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:55524 CAPLUS
DN 128:119670
OREF 128:23363a,23366a
TI Methods and compositions for treating urinary incontinence using enantiomerically enriched (SS)-glycopyrrolate
IN Fabiano, Vincent L.; McCullough, John R.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800133	A1	19980108	WO 1997-US11645	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 920313	A1	19990609	EP 1997-932472	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6063808	A	20000516	US 1998-214169	19981229 <--
PRAI	US 1996-21020P	P	19960701		
	WO 1997-US11645	W	19970627		

AB A method for treating urinary incontinence, such as incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (S,S)-glycopyrrolate (I). The method comprises administering a therapeutically effective amount of enantiomerically enriched I, or a pharmaceutically acceptable salt thereof, substantially free of the (R,R)-glycopyrrolate enantiomer. Pharmaceutical compns. for the treatment of urinary incontinence comprising enantiomerically enriched I or a pharmaceutically acceptable salt thereof, and an acceptable carrier are also disclosed. Pharmacol. data are given for binding to muscarinic receptor subtypes, Ca channels, and antimuscarinic-antispasmodic activity.

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1998:55485 CAPLUS
DN 128:136512
OREF 128:26699a,26702a
TI Methods and compositions for treating urinary incontinence using enantiomerically enriched (R,R)-glycopyrrolate
IN Fabiano, Vincent L.; McCullough, John R.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9800016	A1	19980108	WO 1997-US11644	19970627 <--
	W: US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 932401	A1	19990804	EP 1997-931551	19970627 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6204285	B1	20010320	US 1998-214168	19981229 <--
PRAI	US 1996-20947P	P	19960701		
	WO 1997-US11644	W	19970627		

AB A method is disclosed for treating urinary incontinence, e.g. incontinence resulting from bladder detrusor muscle instability, using enantiomerically enriched (R, R)-glycopyrrolate. The method comprises administering a therapeutically effective amount of enantiomerically enriched (R, R)-glycopyrrolate, or a pharmaceutically acceptable salt thereof, substantially free of the (S, S)-glycopyrrolate enantiomer. Pharmaceutical compns. for the treatment of urinary incontinence, comprising enantiomerically enriched (R, R)-glycopyrrolate, or a pharmaceutically acceptable salt thereof, and an acceptable carrier, are also disclosed.
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1965:54408 CAPLUS
DN 62:54408
OREF 62:9664f-g
TI The antiperspirant action of topically applied anticholinergics
AU MacMillan, F. S. Kilmer; Reller, Herbert H.; Synder, Fred H.
CS Procter & Gamble Co., Cincinnati, OH
SO Journal of Investigative Dermatology (1964), 43, 363-78
CODEN: JIDEAE; ISSN: 0022-202X
DT Journal
LA English
AB Various esters of atropine and scopolamine were most effective in inhibiting sweating after topical application, especially esters of scopolamine-HBr. The effective compds. included esters with straight-chain, branched, cyclic aliphatic, and aromatic groups. Their effectiveness was attributable to their ability to penetrate the skin; as much as 5-10% of the amount applied was absorbed. No systemic after-effects were observed following repeated use of 0.025% solns. over the same area. Benzoylscopolamine did not cause skin irritation or sensitization.
OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1963:59688 CAPLUS
DN 58:59688
OREF 58:10174d-f
TI (1-Methyl-2-pyrrolidyl)methyl α-phenyl-α-cyclohexylglycolate
PA Laboratoires Dausse, S.A.
SO 14 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR M1434		19620910	FR	19610719 <--
	FR 1328916			FR	
PRAI	FR		19610719		

GI For diagram(s), see printed CA Issue.

AB HCl gas was passed through 270 g. l-2-hydroxymethyl-1-methylpyrrolidine in 4.5 l. Et2O to give the HCl salt (I), SOCl2 (395 ml.) was added slowly with cooling, the mixture kept 1 hr. at room temperature, and heated 3 hrs. at 100°, 500 ml. C6H6 added, the solution evaporated, and the residue washed with C6H6 and Et2O to give 376 g. l-2-chloromethyl-1-methylpyrrolidine HCl salt, m. 162° (MeCOEt), [α]D-5.04 ± 0.05° (50%, H2O). Alc. KOH (1.66N) (361.3 ml.) was added dropwise to 139 g. dl-α-phenyl-α-cyclohexylglycolic acid in 300 ml. EtOH followed by 102 g. I in 500 ml. EtOH and 361.3 ml. 1.66N alc. KOH, the mixture refluxed 15 min., kept 3 days, filtered, the filtrate evaporated in vacuo, the residue dissolved in 3 l. Et2O, washed with 10% Na2CO3 and H2O, dried, the solution evaporated, the oil dissolved in 1.5 l. iso-PrOH, HCl gas passed in to pH 3-4, and the solution cooled to give 33% l-(1-methyl-2-pyrrolidyl)methyl-l-α-phenyl-α-cyclo-hexylglycolate HCl salt (l-II), m. 220-2°; free base [αD -21 ± 0.7° (4.8, alc.), -18.4 ± 0.7° (4%, Me2CO); EtBr salt m. 169-71°, [α]D + 10.1 ± 1° (4.3%, alc.). II was similarly prepared in 72% yield from l-α-phenyl-α-cyclohexylglycolic acid (III). II, [α]D 0.9 ± 0.9° (1%, alc.), -5.2 ± 1° (0.93%, H2O), was also prepared in 52% yield by refluxing 40 g. III and 23 g. I for 8 hrs. in 250 ml. iso-PrOH and cooling the mixture overnight. II has antispasmolytic properties.